(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization

International Bureau





(43) International Publication Date 28 October 2004 (28.10.2004)

PCT

(10) International Publication Number WO 2004/091669 A1

(51) International Patent Classification7: C07D 231/12, 231/14, A61P 35/00

A61K 51/04.

(74) Agents: BOONE, Jeffrey, S. et al.; 675 McDonnell Boule-

(21) International Application Number:

PCT/US2004/011685

(22) International Filing Date: 15 April 2004 (15.04.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 03076106.8

15 April 2003 (15.04.2003)

03078217.1 10 October 2003 (10.10.2003)

(71) Applicant (for all designated States except US): MALLINCKRODT INC. [US/US]; 675 McDonnell

Boulevard, P.O. Box 5840, St. Louis, MO 63134 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): SANTOS, Isabel, R. [PT/PT]; Rua Cidade Benguela, Lote 257, 6 Dto, P-1800 Lisboa (PT). GALAMBA CORREIA, Joao, D. [PT/PT]; Avenida Miguel Torga N 6, 3 C, P-1070-373 Lisboa (PT). ROCHA PAULO, Antonio, M. [PT/PT]; Rua Actor Isidoro N 31, 2 Esq, P-1900 Lisboa (PT). ALVES, Susana [PT/PT]; Rua Dr Alberto De Araujo, N 14 - 5Dto, P-2800-310 Almada (PT). VITOR, Rute [PT/PT]; Rua Do Castelo N 6, Cv, Esq Pirescoxe, P-2685 Santa Iria Da Azoia (PT).

vard, P.O. Box 5840, St. Louis, MO 63134 (US).

(81) Designated States (unless otherwise indicated, for every

kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,

GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW. (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH,

GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

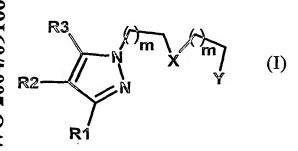
Published:

with international search report

before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: BIFUNCTIONAL TRIDENTATE PYRAZOLYL CONTAINING LIGANDS FOR RE AND TC TRICARBONYL COM-**PLEXES**



(57) Abstract: The present invention relates to a chelating agent of the general formula: (I), wherein m is 0 or 1; X is NR4 or S; Y is SR5, NHR₅ or $P(R_5)_2$; R_1 and R_3 are the same or different and are selected from H, alkyl or aryl; R2 is H, COOH, NHR6 or (CH2)nCOOR6; R4 is H, alkyl, aryl, $(CH_2)_nCOOR_6$ or $(CH2)_nOR_6$; R_5 is H, alkyl, aryl, $(CH_2)_nCOOR_6$ or $(CH_2)_nOR_6$, R_6 is H, alkyl or aryl; n is 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10; and when $R_1 = R_3 = CH_3$, R_2 , R_4 and R_5 are not all three H. The invention further relates to a method and kit for the preparation of radiolabeled biomolecules while using the chelating agent.